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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,  
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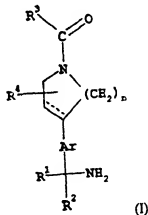
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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ARYLMETHYLAMINE DERIVATIVES FOR USE AS TRYPTASE INHIBITORS

(57) Abstract: Provided herein are compounds of formula (I) wherein R<sup>1-4</sup>, n and Ar are as defined in claim 1, and their pharmaceutical compositions. These compounds are tryptase inhibitors and may be used in the treatment of e.g. asthma and inflammatory diseases.



(I)

WO 01/90101 A1

## INTERNATIONAL SEARCH REPORT

 Int'l. Application No.  
 PCT/US 01/13811

**A. CLASSIFICATION OF SUBJECT MATTER**

IPC 7	C07D401/06	C07D211/16	C07D401/10	C07D409/06	C07D413/10
	C07D405/06	C07D513/04	C07D413/14	C07D495/04	C07D409/14
	C07D401/12	C07D487/04	C07D417/06	C07D471/04	C07D405/14

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**
 Minimum documentation searched (classification system followed by classification symbols)  
 IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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A	BURGESS L E: "MAST CELL TRYPTASE AS A TARGET FOR DRUG DESIGN" DRUG NEWS AND PERSPECTIVES, vol. 13, no. 3, 2000, pages 147-157, XP000986039 ISSN: 0214-0934 * Compounds 1-20 *	1
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A	DE 44 07 139 A (THOMAE GMBH DR K) 7 September 1995 (1995-09-07) * Formula 1 *	1
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☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

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\*X\* document of particular relevance; the claimed invention

cannot be considered novel or cannot be considered to

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ments, such combination being obvious to a person skilled

in the art

\*G\* document member of the same patent family

Date of the actual completion of the international search

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# INTERNATIONAL SEARCH REPORT

In International Application No  
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A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 C07D405/10 A61K31/451 A61P29/00

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Category \* Citation of document, with indication, where appropriate, of the relevant passages

Relevant to claim No.

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\*O\* document referring to an oral disclosure, use, exhibition or other means

\*P\* document published prior to the International filing date but later than the priority date claimed

\*T\* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*Z\* document member of the same patent family

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## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-49,51,53-72 (all part)

Present claims 1-49,51,53-72 relate to compounds defined by reference to a desirable characteristic or property, namely prodrugs. The claims cover all compounds having this characteristic or property, whereas the application provides support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT for only a very limited number of such compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Independent of the above reasoning, the claims also lack clarity (Article 6 PCT). An attempt is made to define the compound by reference to a result to be achieved. Again, this lack of clarity in the present case is such as to render a meaningful search over the whole of the claimed scope impossible. Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to the compounds of Formula (I), their N-oxides, pharmaceutically acceptable salts, solvates and hydrates.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

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PCT/US 01/13811

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
DE 4407139 A	07-09-1995	NONE	

Form PCT/ISA/210 (patent family annex) (July 1992)